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=> d l7
L7 HAS NO ANSWERS
L7                STR
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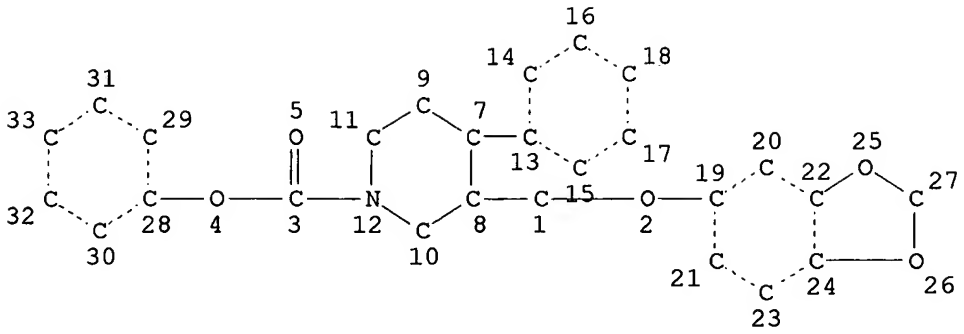

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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  32

STEREO ATTRIBUTES: NONE


=> s l7
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SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             0 TO         0
PROJECTED ANSWERS:                0 TO         0

L8             0 SEA SSS SAM L7

=> s l7 ful
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FULL SCREEN SEARCH COMPLETED -       19 TO ITERATE

100.0% PROCESSED        19 ITERATIONS                                  6 ANSWERS
SEARCH TIME: 00.00.01

L9             6 SEA SSS FUL L7

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   184.00     197.47

FILE 'CAPLUS' ENTERED AT 11:02:02 ON 07 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 7 Mar 2006 VOL 144 ISS 11
FILE LAST UPDATED: 6 Mar 2006 (20060306/ED)



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=> s l9
L10         20 L9

=> s l10 not l1
L11         19 L10 NOT L1

=> d bib abs hitstr 1-19

L11  ANSWER 1 OF 19  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2002:736935  CAPLUS
DN   137:247681
TI   Novel process for the preparation of a carbamate, a key intermediate in
     the synthesis of paroxetine
IN   Lucas, Edward
PA   Smith Kline Beecham PLC, UK
SO   U.S. Pat. Appl. Publ., 7 pp., Cont. of U.S. Ser. No. 635,545, abandoned.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   US 2002137938        A1    20020926    US 2002-109119          20020327
PRAI GB 1998-17195        A     19980807
     US 1999-370041       B1    19990806
     US 2000-635545       B1    20000810
OS   CASREACT 137:247681; MARPAT 137:247681
GI
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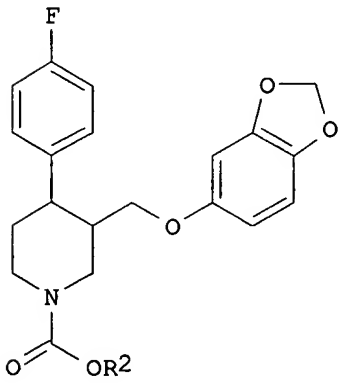
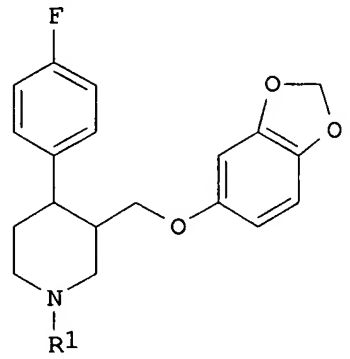



I



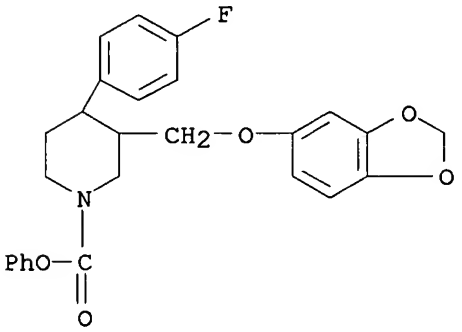

II

AB The title carbamates [I; R2 = alkyl, haloalkyl, cycloalkyl, aralkyl, (un)substituted aryl] were prepared by reacting a solution of a compound II [R1 = alkyl, arylalkyl, alkynyl] at 50-100°C with a haloformate HalCO2R2. Thus, reacting 4-(4-fluorophenyl)-1-methyl-3-(3',4'-methylenedioxyphenoxymethyl)piperidine with Ph chloroformate at 60-65°C afforded 88% I [R2 = Ph]. Such prepared compds. I can be then converted to paroxetine and its salts which is used in treating depression, obsessive compulsive disorder and panic.

IT **262424-80-6P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(novel process for the preparation of a carbamate, a key intermediate in the synthesis of paroxetine)

RN 262424-80-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester (9CI) (CA INDEX NAME)




L11 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:314911 CAPLUS

DN 136:325429

TI Process of the preparation of 3-substituted-4-arylpiperidines useful as intermediates in paroxetine synthesis

IN Ward, Neal

PA Smithkline Beecham P.L.C., UK

SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2

DT Patent

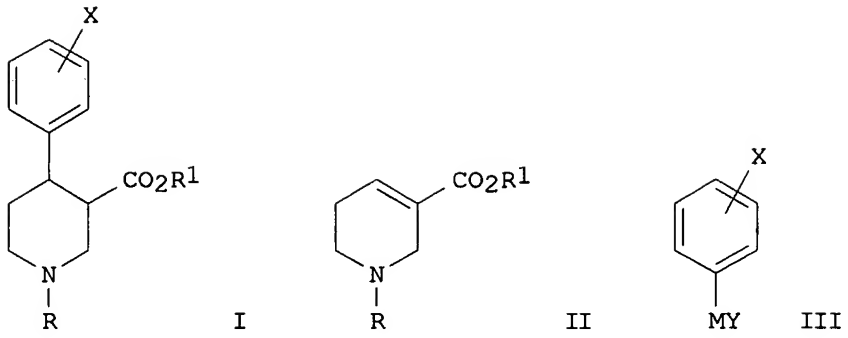
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002032870 | A1 | 20020425 | WO 2000-GB4071 | 20001020 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001010390 | A5 | 20020429 | AU 2001-10390 | 20001020 |
| PRAI | WO 2000-GB4071 | A | 20001020 | | |

OS MARPAT 136:325429

GI



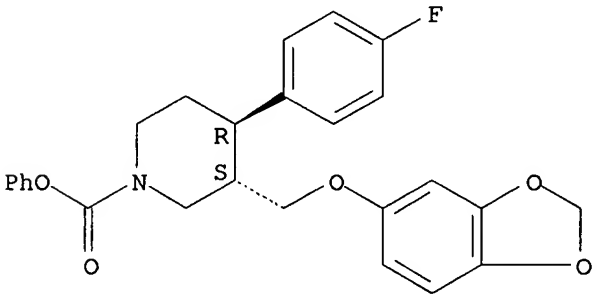
AB The title compds. I [R, R1 = alkyl, aryl, arylalkyl; X = H, halo, OH, alkoxy, etc.] which are important intermediates in the preparation of inter alia paroxetine, are prepared by reaction of an arecoline analog II with an organometallic compound containing an X-substituted Ph group, such as a compound III. Suitably the compound III is a Grignard reagent, where M is magnesium and Y is a halogen atom, or M may be a Group II metal and Y is a halogen atom or a second X-substituted Ph group. When III is a Grignard reagent, the reaction is carried out either in a suitable non-ether solvent, typically a hydrocarbon or a non-reactive chlorinated hydrocarbon, or in a mixture of such a solvent with di-Et ether. E.g., preparation of (±)-trans-1-methyl-3-carbomethoxy-4-(4'-fluorophenyl)piperidine (IV) by reacting 4-fluorophenylmagnesium bromide and arecoline followed by epimerization of the resulting cis/trans mixture, is described. A multi-step synthesis of paroxetine using IV is also presented.

IT **253768-88-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process of the preparation of 3-substituted-4-arylpiperidines useful as intermediates in paroxetine synthesis)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

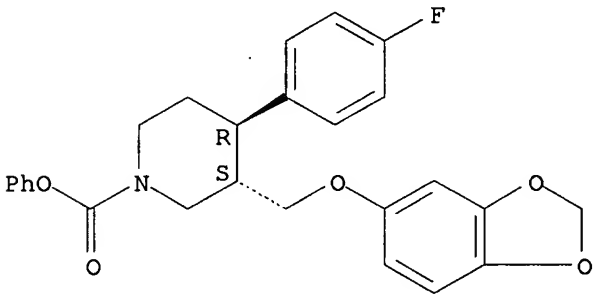
L11 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:138850 CAPLUS
DN 136:183712

TI Preparation and formulation of paroxetine methanesulfonate
PA Smithkline Beecham P.L.C., UK
SO Ger. Gebrauchsmusterschrift, 41 pp.
CODEN: GGXXFR
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 20022646 | U1 | 20020221 | DE 2000-20022646 | 20001228 |
| PRAI DE 2000-20022646 | | 20001228 | | |

AB The title compound was prepared and formulations comprising it were given.
IT **253768-88-6**, N-Phenoxycarbonylparoxetine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and formulation of paroxetine methanesulfonate)
RN 253768-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




L11 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:72090 CAPLUS
DN 136:118391
TI Novel processes for the preparation of 4-phenylpiperidine derivatives
IN Borrett, Gary Thomas; Fedouloff, Michael; Hughes, Mark Jason; Share, Andrew Colin; Strachan, John Bryce; Szeto, Peter; Voyle, Martyn
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002006275 | A1 | 20020124 | WO 2001-GB3221 | 20010717 |
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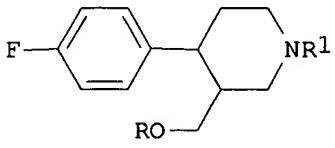
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1301508 | A1 | 20030416 | EP 2001-949741 | 20010717 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004504319 | T2 | 20040212 | JP 2002-512178 | 20010717 |

| | | | | | |
|---|---|---|---|---|---|
| | US 2004087795 | A1 | 20040506 | US 2003-333274 | 20030624 |
| PRAI | GB 2000-17540 | A | 20000717 | | |
| | GB 2000-18857 | A | 20000801 | | |
| | WO 2001-GB3221 | W | 20010717 | | |

OS CASREACT 136:118391; MARPAT 136:118391

GI



I

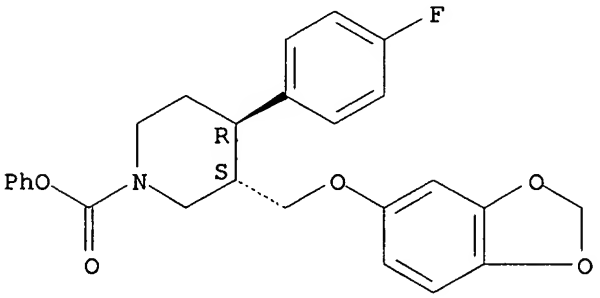
AB A process for preparing a 4-phenylpiperidine I [R = substituted Ph, especially 3,4-methylenedioxyphenyl, R1 = H] from I [R = H, R1 = Me] with or without isolation of intermediate products, comprises reacting I [R = H, R1 = Me] with a sulfonyl chloride, treating the resulting sulfonate with the substituted phenol in the presence of a phase transfer catalyst and a base, treating I [R = substituted Ph, R1 = Me] with a haloformate with addition of an HCl scavenging base, washing the reaction solution containing I [R = substituted Ph, R1 = CO2R2] with an aqueous acid selected from citric acid, phosphoric acid, acetic acid and formic acid, and heating I [R = substituted Ph, R1 = CO2R2] with sodium hydroxide to remove the carbamate group. Preferably the reaction(s) take place in toluene, providing an advantageous procedure for com. production of paroxetine.

IT **253768-88-6P**, (-)-trans-4-(4-Fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)-1-(phenoxycarbonyl)piperidine
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of 4-phenylpiperidine derivs., such as paroxetine)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:833279 CAPLUS

DN 135:357848

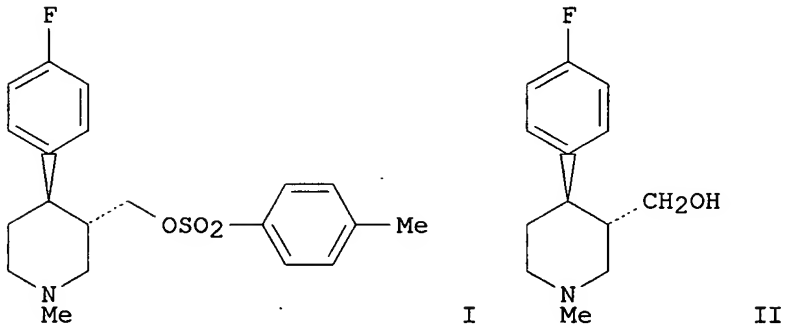
TI Piperidine compounds and process for providing such

IN Peters, Theodorus Hendricus Antonius; Lemmens, Jacobus Maria; Slanina, Pavel

PA Synthon B.V., Neth.
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001085689 | A1 | 20011115 | WO 2000-NL321 | 20000512 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2000046281 | A5 | 20011120 | AU 2000-46281 | 20000512 |
| | EP 1286965 | A1 | 20030305 | EP 2000-927979 | 20000512 |
| | EP 1286965 | B1 | 20040114 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | AT 257823 | E | 20040115 | AT 2000-927979 | 20000512 |
| | PT 1286965 | T | 20040430 | PT 2000-927979 | 20000512 |
| | ES 2209876 | T3 | 20040701 | ES 2000-927979 | 20000512 |
| | NL 1016013 | C1 | 20010130 | NL 2000-1016013 | 20000824 |
| | US 2002099219 | A1 | 20020725 | US 2001-853860 | 20010514 |
| PRAI | EP 2000-927979 | A | 20000512 | | |
| | WO 2000-NL321 | A | 20000512 | | |

GI



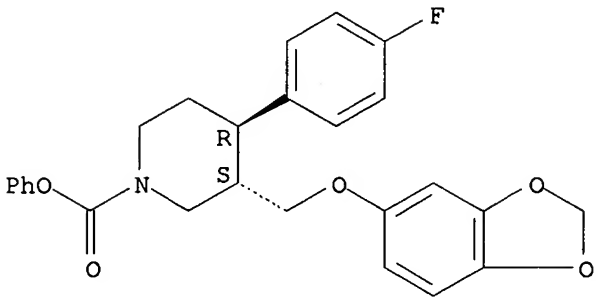

AB The present invention relates to a process for providing a compound of formula I, a hydrate, solvate, and/or salt thereof. Thus, the reaction of II with tosyl chloride in the presence of triethylamine provided tosylate I in 83% crude yield. Compound I was subsequently purified by recrystn. from isopropanol to provide an overall yield of 75%.

IT **253768-88-6P 317323-78-7P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(piperidine compds. and process for providing such)

RN 253768-88-6 CAPLUS

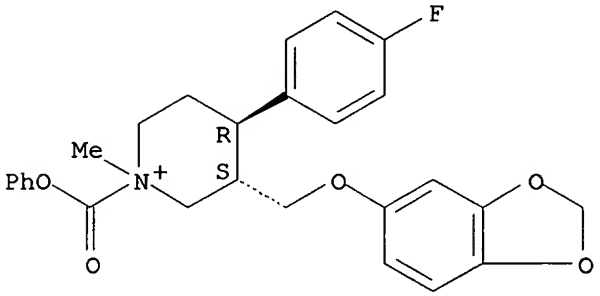
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 317323-78-7 CAPLUS
CN Piperidinium, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-1-methyl-1-(phenoxycarbonyl)-, chloride, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● $Cl^-$

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

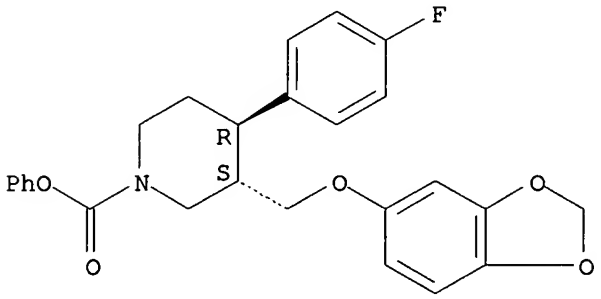
L11 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:526388 CAPLUS
DN 135:112014
TI Preparation of noncrystalline paroxetine hydrochloride
IN Craig, Andrew Simon; Jacewicz, Victor Witold
PA Smithkline Beecham Plc, UK
SO U.S. Pat. Appl. Publ., 3 pp., Cont. of U. S. Ser. No. 179,714.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2001008940 | A1 | 20010719 | US 2001-759513 | 20010112 |
| PRAI | GB 1997-22694 | A | 19971027 | | |
| | US 1998-179714 | A1 | 19981027 | | |

AB Non-crystalline paroxetine-HCl is prepared by precipitation as a solid from a solution of paroxetine-HCl, or by drying an oil containing paroxetine-HCl, or by removing water/solvent from a hydrate/solvate. The oil may also be obtained by precipitation from a solution of paroxetine-HCl.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of noncryst. paroxetine hydrochloride)
RN 253768-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

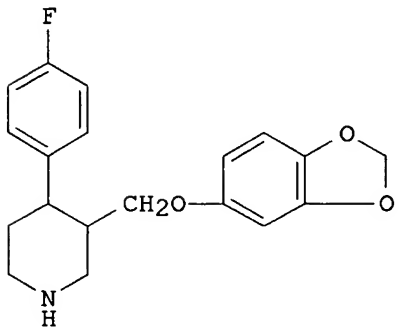
Absolute stereochemistry. Rotation (-).




L11 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:300712 CAPLUS
DN 134:311117
TI Novel processes for synthesis of paroxetine
IN Crowe, David; Ward, Neal; Wells, Andrew Stephen
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001029032 | A1 | 20010426 | WO 2000-GB4066 | 20001020 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRAI | GB 1999-24882 | A | 19991020 | | |

OS CASREACT 134:311117; MARPAT 134:311117
GI




I

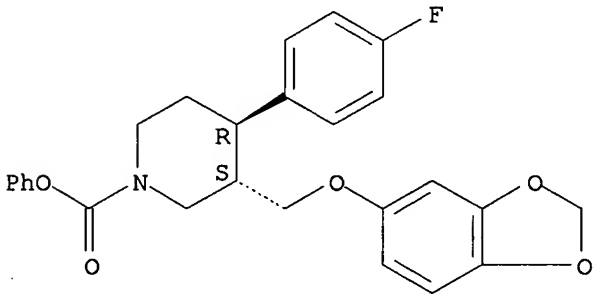
AB Three process schemes for a complete route to paroxetine (I) starting from arecoline are disclosed.

IT **253768-88-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of paroxetine)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:300711 CAPLUS

DN 134:311116

TI Process for the preparation of paroxetine

IN Borrett, Gary Thomas; Crowe, David; Ward, Neal; Wells, Andrew Stephen

PA Smithkline Beecham P.L.C., UK

SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001029031 | A1 | 20010426 | WO 2000-GB4060 | 20001020 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-24855 A 19991020

OS MARPAT 134:311116

AB Three process schemes for a complete route to paroxetine from a pyridine ester are disclosed. E.g., enzymic resolution of trans-1-methyl-3-carbomethoxy-4-(4'-fluorophenyl)piperidine is described.

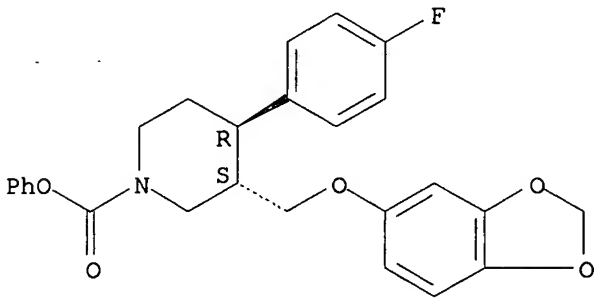
IT **253768-88-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of paroxetine)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-

fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:265415 CAPLUS
DN 134:285600
TI Preparation of paroxetine hydrochloride acetone solvate
IN Craig, Andrew Simon
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001025232 | A1 | 20010412 | WO 2000-GB3802 | 20001004 |

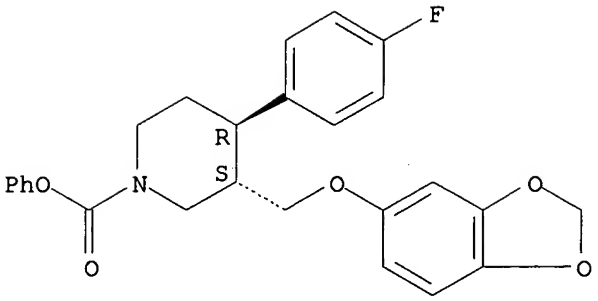
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-23439 A 19991004

AB A solution of paroxetine base, or a salt of paroxetine with an organic acid, in an organic solvent is treated with aqueous HCl, the solution is then distilled to reduce the amount of water present and then treated with acetone to give paroxetine hydrochloride acetone solvate (I) as a crystalline solid. Concentrate HCl was added to a solution of paroxetine free base in toluene and the mixture heated to 90° for 5 min. One-half of the total volume of the solvent was removed and dry acetone was added to give I.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of paroxetine hydrochloride acetone solvate)
RN 253768-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:265413 CAPLUS
DN 134:285598
TI Process for the preparation of paroxetine hydrochloride acetone solvate
IN Craig, Andrew Simon
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001025230 | A1 | 20010412 | WO 2000-GB3795 | 20001004 |

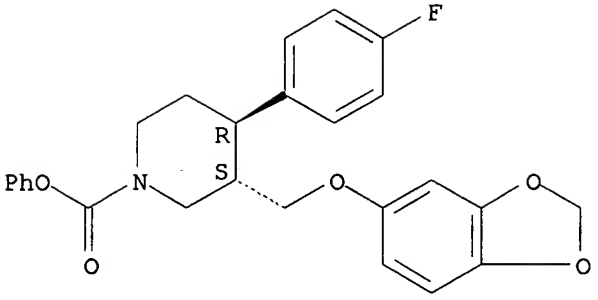
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-23445 A 19991004

AB A solution of paroxetine base, or a solution of salt of paroxetine with an organic acid is treated with acetone and a solution of HCl in a carrier solvent, to give paroxetine-HCl acetone solvate (I) as a crystalline solid. Dry acetone was added to the free paroxetine base and HCl in MeOH was added. The product was filtered and treated with acetone and dried at 60° for 20 h. I was characterized by IR spectroscopy.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of paroxetine hydrochloride acetone solvate)
RN 253768-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



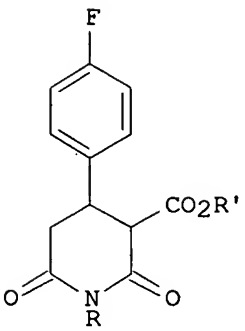
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:152646 CAPLUS
DN 134:207718
TI Process for preparation of paroxetine intermediate
IN Crowe, David; Jones, David Alan
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

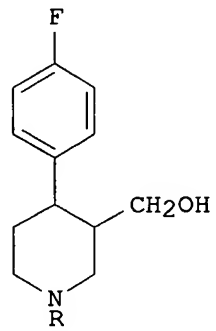
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001014335 | A1 | 20010301 | WO 2000-EP8177 | 20000818 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-20147 A 19990825
OS CASREACT 134:207718; MARPAT 134:207718
GI



I



II

AB Trans-piperidinediones I [R = benzyl group; R' is an optionally substituted C1-6-alkyl, aryl-C1-6-alkyl, C1-6-allyl, aryl] were prepared by reaction of a cinnamate ester with a malonamide in the presence of a strong base. Compound II, prepared from I, is used in the presentation of

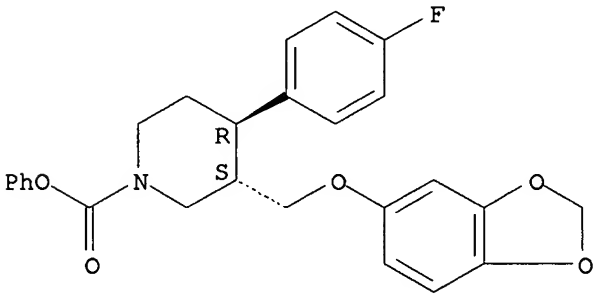
paroxetine. The preparation of paroxetine by this route avoids the formation of difficult to remove impurities found in other routes. Thus, reaction of Me malonyl chloride with PhCH2NH2, followed by reaction with 4-C6H4CHO gave trans-4-(4-fluorophenyl)-1-benzyl-2,6-dioxo-piperidine-3-carboxylic acid Et ester. Reduction of the latter with LiAlH4 and resolution led to (-)-trans-1-benzyl-4-(4-fluorophenyl)-3-hydroxymethylpiperidine. Reaction of the product with MeSO2Cl, then with sesamol followed by Ph chloroformate gave (-)-trans-4-(4-fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)-N-phenoxycarbonylpiperidine. Hydrogenation of the latter in presence of Pd on C gave paroxetine hydrochloride hemihydrate.

IT **253768-88-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of paroxetine intermediate)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:137210 CAPLUS

DN 134:198046

TI Preparation of paroxetine free base

IN Craig, Andrew Simon; Jones, David Alan; O'Keeffe, Deirdre; Ward, Neal

PA SmithKline Beecham P.L.C., UK

SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001012624 | A1 | 20010222 | WO 2000-GB3107 | 20000811 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 724845 | B3 | 20000928 | AU 1999-48821 | 19990920 |
| PRAI | GB 1999-19052 | A | 19990812 | | |

AB Processes are disclosed for preparing paroxetine free base in substantially

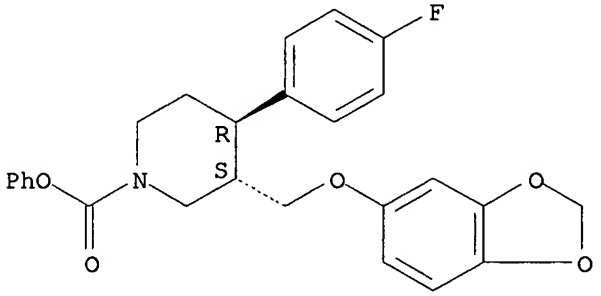
pure form. The free base may be combined with a pharmaceutically acceptable diluent and/or converted in-situ to a pharmaceutically acceptable salt. N-phenoxycarbonyl paroxetine was refluxed with potassium hydroxide in toluene to obtain paroxetine base which was separated and purified.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of paroxetine free base)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:50640 CAPLUS

DN 134:115856

TI Preparation of N-substituted 4-(4-fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)piperidines by reaction of the corresponding 3-sulfonyloxymethyl compounds with sesamol or derivatives.

IN Gordon, Alison Ruth

PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2

DT Patent

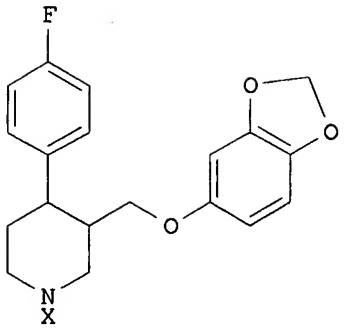
LA English

FAN.CNT 1

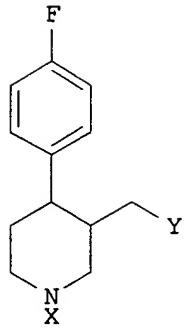
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001004113 | A2 | 20010118 | WO 2000-GB2638 | 20000707 |
| | WO 2001004113 | A3 | 20010712 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1246821 | A2 | 20021009 | EP 2000-946071 | 20000707 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | JP 2003504365 | T2 | 20030204 | JP 2001-509723 | 20000707 |
| PRAI | GB 1999-16187 | A | 19990709 | | |
| | WO 2000-GB2638 | W | 20000707 | | |

OS CASREACT 134:115856; MARPAT 134:115856

GI



I



II

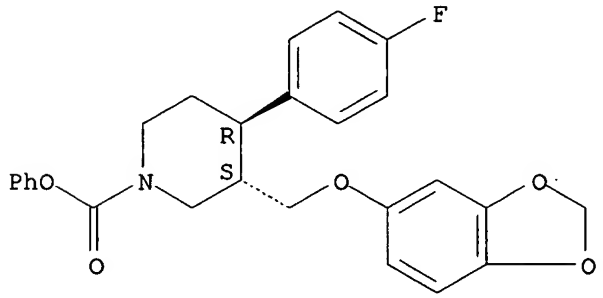
AB Title compds. [I; X = (substituted) alkyl, aralkyl, allyl, alkynyl], were prepared by preparing and reacting [II; X as above; Y = (substituted) alkyl-, aryl-, or aralkylsulfonate] (unisolated) with sesamol or a derivative thereof. Thus, (-)-trans-4-(4-fluorophenyl)-3-hydroxymethyl-1-methylpiperidine and Me2NEt in PhMe at -2° to 2° were treated with PhSO2Cl in PhMe over 70 min. followed by stirring for 20 min. to 10° to give a solution of sulfonate ester in PhMe which was worked up and then combined with DMF, heated to 50°, and treated with sesamol and NaOMe in DMF over 20 min. to give 87.6% (-)-trans-4-(4-fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)-1-methylpiperidine.

IT **253768-88-6P**, (-)-trans-4-(4-Fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)-1-phenoxycarbonylpiperidine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-substituted 4-(4-fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)piperidines by reaction of the corresponding 3-sulfonyloxymethyl compds. with sesamol or derivs.)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L11 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:28544 CAPLUS

DN 134:86162

TI Piperidine derivative intermediate for paroxetin preparation

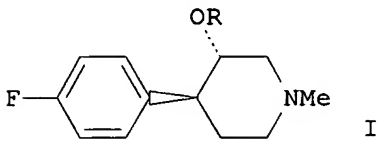
PA Synthon B.V., Neth.

SO Ger. Gebrauchsmusterschrift, 17 pp.

CODEN: GGXXFR
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 20015732 | U1 | 20010111 | DE 2000-20015732 | 20000912 |
| PRAI | DE 2000-20015732 | | 20000912 | | |

OS MARPAT 134:86162
GI



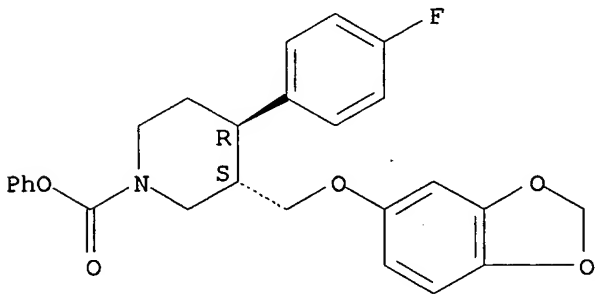
I

AB Piperidinylmethyl tosylate derivative (-)-I (R = Ts) (7.3 g) was prepared by reaction of 5.2 g paroxol [(-)-I, R = H] with 4.7 g tosyl chloride in Et3N-EtOAc.

IT **253768-88-6P 317323-78-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

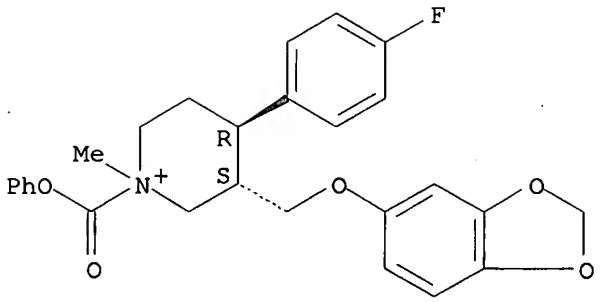
RN 253768-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RN 317323-78-7 CAPLUS
CN Piperidinium, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-1-methyl-1-(phenoxycarbonyl)-, chloride, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

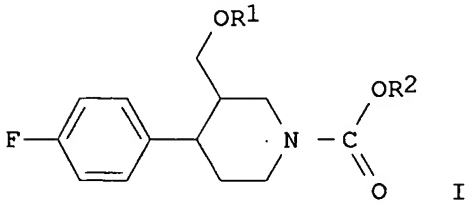


● $Cl^-$

L11 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:911248 CAPLUS
DN 134:58215
TI Improved procedure for the manufacture of paroxetine and structurally related compounds
IN Lucas, Edward
PA SmithKline Beecham P.L.C., UK
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000078753 | A1 | 20001228 | WO 2000-GB2455 | 20000622 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1187830 | A1 | 20020320 | EP 2000-940621 | 20000622 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | JP 2003502422 | T2 | 20030121 | JP 2001-504919 | 20000622 |
| PRAI | GB 1999-14583 | A | 19990622 | | |
| | WO 2000-GB2455 | W | 20000622 | | |

OS MARPAT 134:58215
GI



I

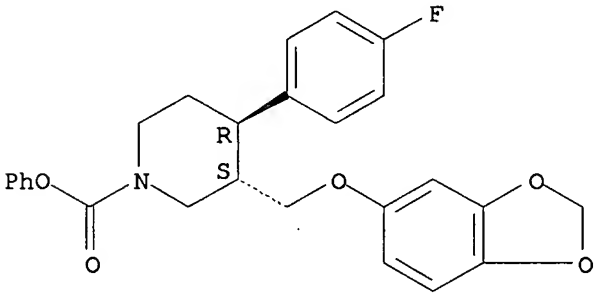
AB 4-(4-Fluorophenyl)piperidine derivs., e.g., the (-)-trans isomer of 4-(4'-fluorophenyl)-3-(3",4"-methylenedioxyphenoxymethyl)piperidine (paroxetine), or their pharmaceutically acceptable salts, useful for the treatment of, e.g., depression, obsessive compulsive disorder and panic, are manufactured by hydrolyzing solns. of carbamate precursors [I; R1 = substituted Ph; R2 = C1-6 alkyl, C3-6 cycloalkyl, aralkyl group, (un)substituted Ph] by heating with a base, e.g., KOH, in a solvent, preferably PhMe, then discontinuing the heating while stirring vigorously to form a finely divided (sand-like) complex derived from the base and the carbamate. The process is carried out under anhydrous or dehydrating conditions, including removal of H2O by azeotropic distillation In previous procedures, the hydrolysis reaction was difficult to complete in a reasonable time because KOH melts at PhMe reflux temperature and forms almost insol. complex mass with paroxetine carbamates. The products are crystallized from PhMe in the presence of a cosolvent, preferably EtOH.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkaline hydrolysis; improved procedure for the manufacture of paroxetine)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:421133 CAPLUS
DN 133:63957
TI Derivative of paroxetine for treatment of CNS disorders.
IN Jones, David Alan
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000035910 | A1 | 20000622 | WO 1999-GB4176 | 19991210 |

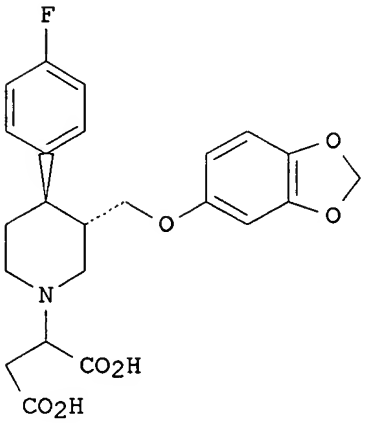
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| | EP 1137646 | A1 | 20011004 | EP 1999-961195 | 19991210 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | JP 2002532494 | T2 | 20021002 | JP 2000-588170 | 19991210 |
| PRAI | GB 1998-27431 | A | 19981211 | | |
| | WO 1999-GB4176 | W | 19991210 | | |

GI



I

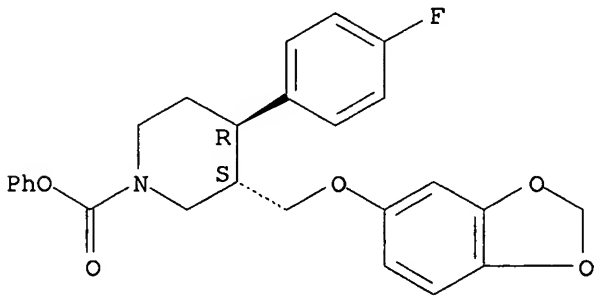
AB I and alkali metal and amine and acid addition salts are useful in the treatment of CNS disorders. Paroxetine was treated with maleic acid to give I paroxetine salt.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(paroxetine derivative for treatment of CNS disorders)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:218575 CAPLUS

DN 132:251138

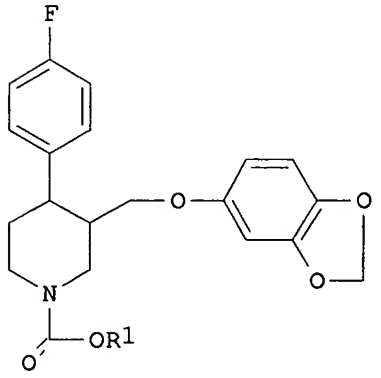
TI Preparation of paroxetine carbamate crystals

IN Nishino, Jiro; Sumiki, Marika; Ohkura, Kazuhiro; Urushibara, Seikou; Wang,

Josho
PA Asahi Glass Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent.
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 2000095780 | A2 | 20000404 | JP 1998-268667 | 19980922 |
| PRAI JP 1998-268667 | | 19980922 | | |

OS MARPAT 132:251138
GI

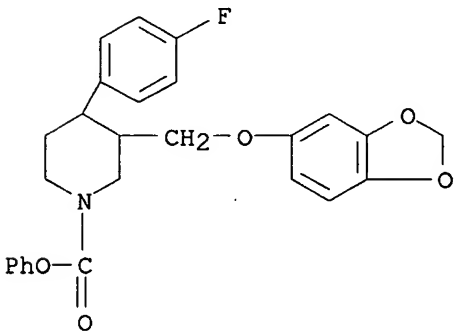


I

AB Crystals of carbamates I [R1 = lower (cyclo)alkyl, lower alkenyl, aralkyl, aryl, heterocyclylalkyl, C1-6 perfluoroalkyl], useful as antidepressants, antiparkinsonian agents, etc. (no data), are prepared by dissolving I into polar solvents and crystallizing I without changing the amts. of the solvents. I (R1 = Et) (20 g, preparation given) was dissolved into aqueous EtOH and cooled at 5° for 30 h to give 18 g white crystals of I (R1 = Et) with 100% purity.

IT **262424-80-6P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystals of paroxetine carbamates)

RN 262424-80-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:34594 CAPLUS
DN 132:78472
TI Preparation and formulation of paroxetine methanesulfonate
IN Craig, Andrew Simon; Jones, David Alan; O'Keeffe, Deirdre; Ward, Neal
PA SmithKline Beecham PLC, UK
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 970955 | A1 | 20000112 | EP 1999-303151 | 19990423 |
| | EP 970955 | B1 | 20000802 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | CH 689805 | A | 19991130 | CH 1999-723 | 19990420 |
| | NL 1011874 | C1 | 19990712 | NL 1999-1011874 | 19990423 |
| | GB 2336364 | A1 | 19991020 | GB 1999-9505 | 19990423 |
| | GB 2336364 | B2 | 20000510 | | |
| | BE 1011664 | A6 | 19991109 | BE 1999-294 | 19990423 |
| | AU 713131 | B3 | 19991125 | AU 1999-23937 | 19990423 |
| | AU 713877 | B3 | 19991209 | AU 1999-23938 | 19990423 |
| | CA 2269999 | AA | 20000102 | CA 1999-2269999 | 19990423 |
| | DK 9900554 | A | 20000103 | DK 1999-554 | 19990423 |
| | FI 9900922 | A | 20000103 | FI 1999-922 | 19990423 |
| | FI 112077 | B1 | 20031031 | | |
| | NO 9901944 | A | 20000103 | NO 1999-1944 | 19990423 |
| | NO 319030 | B1 | 20050606 | | |
| | FR 2780728 | A1 | 20000107 | FR 1999-5185 | 19990423 |
| | FR 2780728 | B1 | 20010216 | | |
| | NL 1011875 | A1 | 20000107 | NL 1999-1011875 | 19990423 |
| | NL 1011875 | C2 | 20000324 | | |
| | WO 2000001694 | A1 | 20000113 | WO 1999-GB1253 | 19990423 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9923928 | A1 | 20000120 | AU 1999-23928 | 19990423 |
| | AU 732211 | B2 | 20010412 | | |
| | AU 9936191 | A1 | 20000124 | AU 1999-36191 | 19990423 |
| | GB 2339428 | A1 | 20000126 | GB 1999-20332 | 19990423 |
| | DE 19918588 | A1 | 20000127 | DE 1999-19918588 | 19990423 |
| | GR 1003350 | B2 | 20000329 | GR 1999-100140 | 19990423 |
| | GR 99100140 | A | 20000331 | | |
| | ZA 9902899 | A | 20000329 | ZA 1999-2899 | 19990423 |
| | US 6063927 | A | 20000516 | US 1999-299060 | 19990423 |
| | PT 102291 | A | 20000531 | PT 1999-102291 | 19990423 |
| | PT 102291 | B | 20020731 | | |
| | EP 1020463 | A1 | 20000719 | EP 2000-201289 | 19990423 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO | | | | |
| | AT 195121 | E | 20000815 | AT 1999-303151 | 19990423 |
| | BE 1012403 | A5 | 20001003 | BE 1999-293 | 19990423 |
| | ES 2149044 | T3 | 20001016 | ES 1999-303151 | 19990423 |
| | PT 970955 | T | 20001130 | PT 1999-303151 | 19990423 |

| | | | | |
|---|---|---|---|---|
| LU 90388 | A2 | 20010129 | LU 1999-90388 | 19990423 |
| GB 2352395 | A1 | 20010131 | GB 2000-26487 | 19990423 |
| GB 2352395 | B2 | 20040211 | | |
| EP 1089996 | A1 | 20010411 | EP 1999-918159 | 19990423 |
| EP 1089996 | B1 | 20031001 | | |
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| TR 200100054 | T2 | 20010621 | TR 2001-200100054 | 19990423 |
| NZ 509180 | A | 20010629 | NZ 1999-509180 | 19990423 |
| ES 2158778 | A1 | 20010901 | ES 1999-849 | 19990423 |
| ES 2158778 | B1 | 20020316 | | |
| PT 1020464 | T | 20011030 | PT 2000-201290 | 19990423 |
| BR 9911682 | A | 20011226 | BR 1999-11682 | 19990423 |
| GB 2367003 | A1 | 20020327 | GB 2001-19695 | 19990423 |
| IT 1312540 | B1 | 20020422 | IT 1999-MI866 | 19990423 |
| JP 2002519422 | T2 | 20020702 | JP 2000-558097 | 19990423 |
| GB 2377637 | A1 | 20030122 | GB 2002-16752 | 19990423 |
| EP 1288214 | A1 | 20030305 | EP 2002-78483 | 19990423 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY | | | | |
| AT 251155 | E | 20031015 | AT 1999-918159 | 19990423 |
| CN 1127502 | B | 20031112 | CN 1999-810281 | 19990423 |
| PT 1089996 | T | 20040227 | PT 1999-918159 | 19990423 |
| ES 2209428 | T3 | 20040616 | ES 1999-918159 | 19990423 |
| IL 140628 | A1 | 20040620 | IL 1999-140628 | 19990423 |
| NL 1012271 | A1 | 19990712 | NL 1999-1012271 | 19990608 |
| NL 1012271 | C2 | 19990923 | | |
| NL 1012272 | C1 | 19990712 | NL 1999-1012272 | 19990608 |
| CA 2336470 | AA | 20000113 | CA 1999-2336470 | 19990630 |
| WO 2000001692 | A1 | 20000113 | WO 1999-EP4543 | 19990630 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
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| AU 9949039 | A1 | 20000124 | AU 1999-49039 | 19990630 |
| EP 1091958 | A1 | 20010418 | EP 1999-932772 | 19990630 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO | | | | |
| TR 200100055 | T2 | 20010723 | TR 2001-200100055 | 19990630 |
| BR 9911679 | A | 20020129 | BR 1999-11679 | 19990630 |
| JP 2002519421 | T2 | 20020702 | JP 2000-558095 | 19990630 |
| BE 1012420 | A6 | 20001003 | BE 1999-832 | 19991223 |
| EP 1020464 | A1 | 20000719 | EP 2000-201290 | 20000410 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO | | | | |
| AT 202777 | E | 20010715 | AT 2000-201290 | 20000410 |
| ES 2157881 | T3 | 20010901 | ES 2000-201290 | 20000410 |
| GR 3034328 | T3 | 20001229 | GR 2000-402015 | 20000905 |
| AU 732558 | B3 | 20010426 | AU 2000-69567 | 20001026 |
| FR 2802098 | A1 | 20010615 | FR 2000-14325 | 20001108 |
| NO 2000006547 | A | 20010212 | NO 2000-6547 | 20001221 |
| DE 20022645 | U1 | 20020228 | DE 2000-20022645 | 20001228 |
| ZA 2001000020 | A | 20020325 | ZA 2001-20 | 20010102 |
| BG 105204 | A | 20011130 | BG 2001-105204 | 20010131 |
| US 2001023252 | A1 | 20010920 | US 2001-803798 | 20010312 |
| US 2001023253 | A1 | 20010920 | US 2001-805812 | 20010314 |
| AU 2001100025 | A4 | 20010621 | AU 2001-100025 | 20010524 |
| GR 3036208 | T3 | 20011031 | GR 2001-401061 | 20010711 |

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|---|---|---|---|---|---|
| | AU 2002100370 | A4 | 20020606 | AU 2002-100370 | 20010711 |
| | US 2002035130 | A1 | 20020321 | US 2001-960033 | 20010921 |
| | HK 1037877 | A1 | 20040723 | HK 2001-107165 | 20011011 |
| | US 2002193406 | A1 | 20021219 | US 2002-174380 | 20020618 |
| | US 2003203937 | A1 | 20031030 | US 2003-430026 | 20030506 |
| | US 2004247667 | A1 | 20041209 | US 2004-828660 | 20040421 |
| PRAI | GB 1998-14316 | A | 19980702 | | |
| | GB 1998-21732 | A | 19981006 | | |
| | GB 1999-2935 | A | 19990210 | | |
| | AU 1999-23928 | A3 | 19990423 | | |
| | EP 1999-303151 | A3 | 19990423 | | |
| | EP 1999-918159 | A3 | 19990423 | | |
| | GB 1999-9505 | A3 | 19990423 | | |
| | GB 2000-26487 | A3 | 19990423 | | |
| | GB 2001-19695 | A | 19990423 | | |
| | US 1999-299060 | A2 | 19990423 | | |
| | WO 1999-GB1253 | W | 19990423 | | |
| | GB 1999-14601 | A | 19990622 | | |
| | GB 1999-14709 | A | 19990623 | | |
| | GB 1999-15096 | A | 19990628 | | |
| | WO 1999-EP4543 | W | 19990630 | | |
| | GB 1999-27501 | A | 19991119 | | |
| | US 1999-454881 | B3 | 19991203 | | |
| | US 1999-469902 | A1 | 19991222 | | |
| | US 2000-635541 | A3 | 20000810 | | |
| | US 2001-803798 | B1 | 20010312 | | |
| | US 2003-430026 | A1 | 20030506 | | |

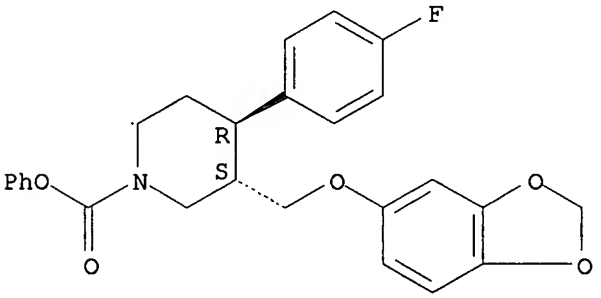
AB The title compound was prepared in several crystallization polymorphs and was used to prepare paroxetine hydrochloride.

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and formulation of paroxetine methanesulfonate)

RN 253768-88-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1987:18361 CAPLUS

DN 106:18361

TI Piperidine derivatives having gastrointestinal activity

IN Stemp, Jean Anne; Miller, David; Martin, Roger Thomas

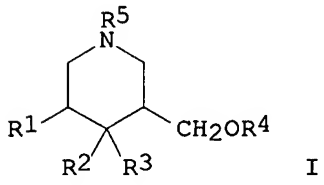
PA Beecham Group PLC, UK

SO Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW

DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 190496 | A2 | 19860813 | EP 1985-308936 | 19851209 |
| | EP 190496 | A3 | 19870527 | | |
| | R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| | DK 8505746 | A | 19860614 | DK 1985-5746 | 19851211 |
| | AU 8551114 | A1 | 19860619 | AU 1985-51114 | 19851211 |
| | JP 61180769 | A2 | 19860813 | JP 1985-278125 | 19851212 |
| PRAI | GB 1984-31478 | A | 19841213 | | |
| | GB 1985-20619 | A | 19850816 | | |

OS MARPAT 106:18361
GI



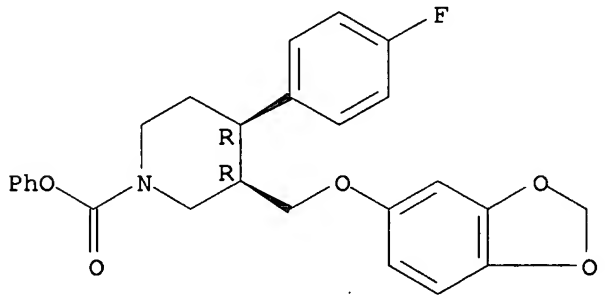
I

AB Title compds. I [R1, R2 = H, R1R2 = bond; R3, R4 = (un)substituted Ph, naphthyl; R5 = (CH2)nR6; R6 = (un)substituted Ph or naphthyl; n = 1, 2] and their salts, useful as antiulcer agents and for treatment of impaired gastrointestinal motility, were prepared Thus, (-)-trans-4-(4-fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)piperidine in DMF was reacted with PhCH2Cl to give (-)-trans-1-benzyl-4-(4-fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)piperidine (II). In antiulcer tests on rats, II at 10.5 mg/kg orally showed 53% inhibition of gastric erosions.

IT **105812-85-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for fluorophenylpiperidine derivative)

RN 105812-85-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)-, phenyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 10:59:18 ON 07 MAR 2006)

FILE 'CAPLUS' ENTERED AT 10:59:50 ON 07 MAR 2006

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L2           ANALYZE L1 1 RN :      17 TERMS

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L7               STRUC
L8             0 S L7
L9             6 S L7 FUL

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L10           20 S L9
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=> s l11 and toluen?
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=> d bib hit 1-4

L12  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2002:72090  CAPLUS
DN   136:118391
TI   Novel processes for the preparation of 4-phenylpiperidine derivatives
IN   Borrett, Gary Thomas; Fedouloff, Michael; Hughes, Mark Jason; Share,
     Andrew Colin; Strachan, John Bryce; Szeto, Peter; Voyle, Martyn
PA   Smithkline Beecham P.L.C., UK
SO   PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
PI   WO 2002006275         A1    20020124    WO 2001-GB3221           20010717
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1301508             A1    20030416    EP 2001-949741           20010717
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004504319          T2    20040212    JP 2002-512178           20010717
     US 2004087795          A1    20040506    US 2003-333274           20030624
PRAI GB 2000-17540          A     20000717
     GB 2000-18857          A     20000801
     WO 2001-GB3221         W     20010717
OS   CASREACT 136:118391; MARPAT 136:118391
RE.CNT  6     THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB   A process for preparing a 4-phenylpiperidine I [R = substituted Ph, especially
     3,4-methylenedioxyphenyl, R1 = H] from I [R = H, R1 = Me] with or without
     isolation of intermediate products, comprises reacting I [R = H, R1 = Me]
     with a sulfonyl chloride, treating the resulting sulfonate with the
     substituted phenol in the presence of a phase transfer catalyst and a
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base, treating I [R = substituted Ph, R1 = Me] with a haloformate with addition of an HCl scavenging base, washing the reaction solution containing I [R = substituted Ph, R1 = CO2R2] with an aqueous acid selected from citric acid, phosphoric acid, acetic acid and formic acid, and heating I [R = substituted Ph, R1 = CO2R2] with sodium hydroxide to remove the carbamate group. Preferably the reaction(s) take place in **toluene**, providing an advantageous procedure for com. production of paroxetine.

IT 110429-36-2P, (-)-trans-4-(4-Fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)-1-methylpiperidine **253768-88-6P**, (-)-trans-4-(4-Fluorophenyl)-3-(3,4-methylenedioxyphenoxymethyl)-1-(phenoxycarbonyl)piperidine
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of 4-phenylpiperidine derivs., such as paroxetine)

IT 64-18-6, Formic acid, uses 64-19-7, Acetic acid, uses 77-92-9, Citric acid, uses 108-88-3, **Toluene**, uses 7087-68-5 7664-38-2, Phosphoric acid, uses
RL: NUU (Other use, unclassified); USES (Uses)
(process for the preparation of 4-phenylpiperidine derivs., such as paroxetine)

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:265415 CAPLUS
DN 134:285600
TI Preparation of paroxetine hydrochloride acetone solvate
IN Craig, Andrew Simon
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001025232 | A1 | 20010412 | WO 2000-GB3802 | 20001004 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-23439 A 19991004
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A solution of paroxetine base, or a salt of paroxetine with an organic acid, in an organic solvent is treated with aqueous HCl, the solution is then distilled to reduce the amount of water present and then treated with acetone to give paroxetine hydrochloride acetone solvate (I) as a crystalline solid. Concentrate HCl was added to a solution of paroxetine free base in **toluene** and the mixture heated to 90° for 5 min. One-half of the total volume of the solvent was removed and dry acetone was added to give I.

IT 64-17-5, Ethanol, uses 67-66-3, uses 71-23-8, 1-Propanol, uses 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 79-20-9 108-88-3, **Toluene**, uses 109-99-9, THF, uses 123-91-1, Dioxane, uses 141-78-6, EtOAc, uses 142-82-5, Heptane, uses

1330-20-7, Xylene, uses
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(preparation of paroxetine hydrochloride acetone solvate)
IT 67-64-1, Acetone, reactions **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of paroxetine hydrochloride acetone solvate)

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:137210 CAPLUS
DN 134:198046
TI Preparation of paroxetine free base
IN Craig, Andrew Simon; Jones, David Alan; O'Keeffe, Deirdre; Ward, Neal
PA SmithKline Beecham P.L.C., UK
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001012624 | A1 | 20010222 | WO 2000-GB3107 | 20000811 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 724845 | B3 | 20000928 | AU 1999-48821 | 19990920 |
| PRAI | GB 1999-19052 | A | 19990812 | | |

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB Processes are disclosed for preparing paroxetine free base in substantially pure form. The free base may be combined with a pharmaceutically acceptable diluent and/or converted in-situ to a pharmaceutically acceptable salt. N-phenoxycarbonyl paroxetine was refluxed with potassium hydroxide in **toluene** to obtain paroxetine base which was separated and purified.
IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of paroxetine free base)

L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:911248 CAPLUS
DN 134:58215
TI Improved procedure for the manufacture of paroxetine and structurally related compounds
IN Lucas, Edward
PA SmithKline Beecham P.L.C., UK
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000078753 | A1 | 20001228 | WO 2000-GB2455 | 20000622 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, | | | | |

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1187830 A1 20020320 EP 2000-940621 20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2003502422 T2 20030121 JP 2001-504919 20000622

PRAI GB 1999-14583 A 19990622

WO 2000-GB2455 W 20000622

OS MARPAT 134:58215

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT **253768-88-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkaline hydrolysis; improved procedure for the manufacture of paroxetine)

IT 108-88-3, **Toluene**, uses
RL: TEM (Technical or engineered material use); USES (Uses)
(solvent; improved procedure for the manufacture of paroxetine involving alkaline hydrolysis of (fluorophenyl)piperidine carbamate precursor with hydroxide in)

IT 64-17-5, Ethanol, uses
RL: TEM (Technical or engineered material use); USES (Uses)
(tech., cosolvent; improved procedure for the manufacture of paroxetine involving crystallization from **toluene** containing)